



## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification: A61K 31/00, 31/42, 31/165, 31/415, 31/44, 31/41, 31/19, 31/38, 31/35, 31/045, 31/365, 31/05	A3	(11) International Publication Number: <b>WO 97/11690</b>
		(43) International Publication Date: 3 April 1997 (03.04.97)

(21) International Application Number: PCT/US96/15435

(22) International Filing Date: 25 September 1996 (25.09.96)

(30) Priority Data:

60/004,626	29 September 1995 (29.09.95)	US
08/672,215	25 June 1996 (25.06.96)	US

(71) Applicant: MICROCID PHARMACEUTICALS, INC.  
[US/US]; 850 Maude Avenue, Mountain View, CA 94043  
(US).(72) Inventors: BAO, Ying; 1291 Vincent Drive #248, Sunnyvale,  
CA 94086 (US). BOGGS, Amy; 490 Sherwood Way #3,  
Menlo Park, CA 94025 (US). CONTAG, Pamela, R.; 6110  
Bollinger Road, San Jose, CA 95129 (US). FEDERSPIEL,  
Nancy, A.; 1345 Altschul Avenue, Menlo Park, CA 94025  
(US). HEBERT, Alan; 450 8th Avenue, Menlo Park, CA  
94025 (US). HECKER, Scott; 16387 Englewood Avenue,  
Las Gatos, CA 95032 (US). MALOUIN, Francois; 18400  
Overlook Road, #6, Los Gatos, CA 95030 (US).(74) Agents: WARBURG, Richard, J. et al.; Lyon & Lyon, Suite  
4700, 633 West Fifth Street, Los Angeles, CA 90071 (US).(81) Designated States: AU, CA, CU, DE, IL, JP, MX, NZ,  
European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB,  
GR, IE, IT, LU, MC, NL, PT, SE).**Published***With international search report.**Before the expiration of the time limit for amending the  
claims and to be republished in the event of the receipt of  
amendments.*(88) Date of publication of the international search report:  
12 September 1997 (12.09.97)

(54) Title: INHIBITORS OF REGULATORY PATHWAYS

## (57) Abstract

Methods are provided for screening for potential inhibitors of bacterial, or other microbial, global pathogenesis gene regulators and other gene regulators. Methods are also provided for treating microbial (e.g., bacterial) infections using such inhibitors. Also included are pharmaceutical compositions containing such inhibitors. The screening methods involve detecting whether the activity of a global pathogenesis gene regulator is altered in the presence of a test compound.

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## A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 A61K31/00 A61K31/42 A61K31/165 A61K31/415 A61K31/44  
 A61K31/41 A61K31/19 A61K31/38 A61K31/35 A61K31/045  
 A61K31/365 A61K31/05

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JOURNAL OF BACTERIOLOGY, vol. 176, no. 13, July 1994, pages 4168-4172, XP000645499 CHEUNG A L ET AL: "CLONING AND SEQUENCING OF SARA OF STAPHYLOCOCCUS AUREUS, A GENE REQUIRED FOR THE EXPRESSION OF AGR" see the whole document ---	1-7,9, 11-18, 20,22, 50,51, 79-81, 83,85-87
X,P	WO 96 10579 A (UNIV NEW YORK) 11 April 1996 see the whole document ---	1-22
X	WO 94 02586 A (AGRICULTURAL & FOOD RES ;PARK SIMON FEARON (GB)) 3 February 1994 see page 2, line 6 - line 8 ---	1
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*A\* document member of the same patent family

Date of the actual completion of the international search

18 July 1997

Date of mailing of the international search report

31.07.97

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
 NL - 2280 HV Rijswijk  
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
 Fax: (+31-70) 340-3016

Authorized officer

Trifilieff-Riolo, S

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 96/15435

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	LV 10 915 B (BF ESSE SIA) 20 April 1996  see page 7; table 1 ---	1-23, 50-52, 79-87
X	JOURNAL OF BACTERIOLOGY, vol. 175, no. 24, December 1993, pages 7875-7879, XP000645497 HART M E ET AL: "THE EXTRACELLULAR PROTEIN REGULATOR (XPR) AFFECTS EXOPROTEIN AND AGR MRNA LEVELS IN STAPHYLOCOCCUS AUREUS" see the whole document ---	1-8, 11-19, 22,50, 51, 79-82, 85-87
X	INFECTION AND IMMUNITY, vol. 60, no. 8, August 1992, pages 3381-3388, XP000645259 REGASSA L B ET AL: "GLUCOSE AND NONMAINTAINED PH DECREASE EXPRESSION OF THE ACCESSORY GENE REGULATOR (AGR) IN STAPHYLOCOCCUS AUREUS" see the whole document ---	1-7, 11-18, 22,50, 51, 79-81, 85-87
X	CANADIAN JOURNAL OF MICROBIOLOGY, vol. 40, August 1994, pages 677-681, XP000645258 GIRAUDO A T ET AL: "CHARACTERIZATION OF A TN551-MUTANT OF STAPHYLOCOCCUS AUREUS DEFECTIVE IN THE PRODUCTION OF SEVERAL EXOPROTEINS" see the whole document ---	1-3,5,7, 10-14, 16,18, 21,22, 50,51, 79,80, 84,85
A	PHYTOCHEMISTRY, vol. 36, no. 4, 1994, XP000645959 ULUBELEN A ET AL: "TERPENOIDS FROM SALVIA SCLAREA" see the whole document ---	23,24, 52,53
X	P.N.A.S., vol. 90, 1993, pages 965-969, XP002035604 ROYCHOUDHURY ET AL: "inhibitors of 2-component signal transduction systems: inhibition of alginate gene activation in Pseudomonas aeruginosa" cited in the application see the whole document ---	88-90, 98,99
X	PATENT ABSTRACTS OF JAPAN vol. 095, no. 007, 31 August 1995 & JP 07 089924 A (SHIRATORI SEIYAKU KK), 4 April 1995, see abstract ---	61,62

-/--

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DE 31 08 067 A (BAYER AG) 16 September 1982 see page 19; example 3 ---	61,62
A	MED. PARAZITOL. PARAZIT. BOLEZNI, vol. 6, 1991, pages 52-53, XP002035605 MIKHAILITSYN ET AL: "search for new antiparasitic agents" see page 53; table 2 -----	40,41

**Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)**

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
Remark: Although claim(s) 1-49  
is(are) directed to a method of treatment of the human/animal  
body, the search has been carried out and based on the alleged  
effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such  
an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

**Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)**

This International Searching Authority found multiple inventions in this international application, as follows:

SEE NEXT PAGE

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all  
searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment  
of any additional fee.
3. ☒ As only some of the required additional search fees were timely paid by the applicant, this International Search Report  
covers only those claims for which fees were paid, specifically claims Nos.:  
  
Subjects 1 5 and 9  
Please see next page for further information
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is  
restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FR M PCT/ISA/210

- subject 1: claims 1 to 22 (all partially), 23, 24, 50-51 (both partially), 52, 53, 79-103 (all 24 partially): use of a compound of formula 1 or 1A to treat or prevent a bacterial infection, compositions comprising said compound, method of screening for such a compound, method of producing an antibacterial agent comprising this compound
- subject 2: claims 1 to 22 (all partially), 25, 26, 27, 50-51 (both partially), 54, 55, 56, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 2 or 2A (as far as not comprised in subject 1)
- subject 3: claims 1 to 22 (all partially), 28, 29, 50-51 (both partially), 57, 58, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 4 or 4A (as far as not comprised in subjects 1-2)
- subject 4: claims 1 to 22 (all partially), 30, 31, 50-51 (both partially), 59, 60, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 5 or 5A (as far as not comprised in subjects 1-3)
- subject 5: claims 1 to 22 (all partially), 32, 33, 50-51 (both partially), 61, 62, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 6 or 6A (as far as not comprised in subjects 1-4)
- subject 6: claims 1 to 22 (all partially), 34, 35, 50-51 (both partially), 63, 64, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 7 or 7A (as far as not comprised in subjects 1-5)
- subject 7: claims 1 to 22 (all partially), 36, 37, 50-51 (both partially), 65, 66, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 8 or 8A (as far as not comprised in subjects 1-6)
- subject 8: claims 1 to 22 (all partially), 38, 39, 50-51 (both partially), 67, 68, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 9 or 9A (as far as not comprised in subjects 1-7)
- subject 9: claims 1 to 22 (all partially), 40, 41, 50-51 (both partially), 69, 70, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 10 or 10A (as far as not comprised in subjects 1-8)
- subject 10: claims 1 to 22 (all partially), 42, 43, 50-51 (both partially), 71, 72, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 11 or 11A (as far as not comprised in subjects 1-9)
- subject 11: claims 1 to 22 (all partially), 44, 45, 50-51 (both partially), 73, 74, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 12 or 12A (as far as not comprised in subjects 1-10)

# INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 96/ 15435

FURTHER INFORMATION CONTINUED FROM PCT/ISA/210

subject 12: claims 1 to 22 (all partially), 46, 47, 50-51 (both partially), 75, 76, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 13 or 13A (as far as not comprised in subjects 1-11)

subject 13: claims 1 to 22 (all partially), 48, 49, 50-51 (both partially), 77, 78, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 14 or 14A (as far as not comprised in subjects 1-12)



## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 96/15435

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9610579 A	11-04-96	AU 3825995 A	26-04-96
WO 9402586 A	03-02-94	AU 4714493 A	14-02-94
LV 10915 B	20-04-96	NONE	
DE 3108067 A	16-09-82	EP 0059400 A	08-09-82
		JP 1594102 C	14-12-90
		JP 2019825 B	07-05-90
		JP 57158751 A	30-09-82

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INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<b>(51) International Patent Classification <sup>6</sup>:</b> A61K 31/00, 31/42, 31/165, 31/415, 31/44, 31/41, 31/19, 31/38, 31/35, 31/045, 31/365, 31/05	<b>A3</b>	<b>(11) International Publication Number:</b> WO 97/11690 <b>(43) International Publication Date:</b> 3 April 1997 (03.04.97)
<b>(21) International Application Number:</b> PCT/US96/15435 <b>(22) International Filing Date:</b> 25 September 1996 (25.09.96)	<b>(81) Designated States:</b> AU, CA, CU, DE, IL, JP, MX, NZ, European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).	
<b>(30) Priority Data:</b> 60/004,626 29 September 1995 (29.09.95) US 08/672,215 25 June 1996 (25.06.96) US	<b>Published</b> <i>With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>	
<b>(71) Applicant:</b> MICROCID PHARMACEUTICALS, INC. [US/US]; 850 Maude Avenue, Mountain View, CA 94043 (US).	<b>(88) Date of publication of the International search report:</b> 12 September 1997 (12.09.97)	
<b>(72) Inventors:</b> BAO, Ying; 1291 Vincent Drive #248, Sunnyvale, CA 94086 (US). BOGGS, Amy; 490 Sherwood Way #3, Menlo Park, CA 94025 (US). CONTAG, Pamela, R.; 6110 Bollinger Road, San Jose, CA 95129 (US). FEDERSPIEL, Nancy, A.; 1345 Altschul Avenue, Menlo Park, CA 94025 (US). HEBERT, Alan; 450 8th Avenue, Menlo Park, CA 94025 (US). HECKER, Scott; 16387 Englewood Avenue, Las Gatos, CA 95032 (US). MALOIN, Francois; 18400 Overlook Road, #6, Los Gatos, CA 95030 (US).		
<b>(74) Agents:</b> WARBURG, Richard, J. et al.; Lyon & Lyon, Suite 4700, 633 West Fifth Street, Los Angeles, CA 90071 (US).		
<b>(54) Title:</b> INHIBITORS OF REGULATORY PATHWAYS		
<b>(57) Abstract</b>		
<p>Methods are provided for screening for potential inhibitors of bacterial, or other microbial, global pathogenesis gene regulators and other gene regulators. Methods are also provided for treating microbial (e.g., bacterial) infections using such inhibitors. Also included are pharmaceutical compositions containing such inhibitors. The screening methods involve detecting whether the activity of a global pathogenesis gene regulator is altered in the presence of a test compound.</p>		

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# INTERNATIONAL SEARCH REPORT

Inter    nal Application No  
PCT/US 96/15435

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 6    A61K31/00    A61K31/42    A61K31/165    A61K31/415    A61K31/44  
           A61K31/41    A61K31/19    A61K31/38    A61K31/35    A61K31/045  
           A61K31/365    A61K31/05

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## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6    A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

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X	WO 94 02586 A (AGRICULTURAL & FOOD RES ;PARK SIMON FEARON (GB)) 3 February 1994 see page 2, line 6 - line 8 ---	1
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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- "&" document member of the same patent family

Date of the actual completion of the international search

18 July 1997

Date of mailing of the international search report

3 1. 07. 97

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Fax: (+31-70) 340-3016

Authorized officer

Trifilieff-Riolo, S

# INTERNATIONAL SEARCH REPORT

Inter. Application No  
PCT/US 96/15435

**C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT**

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X	PATENT ABSTRACTS OF JAPAN vol. 095, no. 007, 31 August 1995 & JP 07 089924 A (SHIRATORI SEIYAKU KK), 4 April 1995, see abstract ---	61,62
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# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 96/ 15435

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
Remark: Although claim(s) 1-49  
is(are) directed to a method of treatment of the human/animal  
body, the search has been carried out and based on the alleged  
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2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such  
an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
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## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

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SEE NEXT PAGE

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all  
searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment  
of any additional fee.
3. ☒ As only some of the required additional search fees were timely paid by the applicant, this International Search Report  
covers only those claims for which fees were paid, specifically claims Nos.:  
Subjects 1 5 and 9  
Please see next page for further information
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is  
restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 96/ 15435

FURTHER INFORMATION CONTINUED FR M PCT/ISA/210

- subject 1: claims 1 to 22 (all partially), 23, 24, 50-51 (both partially), 52, 53, 79-103 (all 24 partially): use of a compound of formula 1 or 1A to treat or prevent a bacterial infection, compositions comprising said compound, method of screening for such a compound, method of producing an antibacterial agent comprising this compound
- subject 2: claims 1 to 22 (all partially), 25, 26, 27, 50-51 (both partially), 54, 55, 56, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 2 or 2A (as far as not comprised in subject 1)
- subject 3: claims 1 to 22 (all partially), 28, 29, 50-51 (both partially), 57, 58, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 4 or 4A (as far as not comprised in subjects 1-2)
- subject 4: claims 1 to 22 (all partially), 30, 31, 50-51 (both partially), 59, 60, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 5 or 5A (as far as not comprised in subjects 1-3)
- subject 5: claims 1 to 22 (all partially), 32, 33, 50-51 (both partially), 61, 62, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 6 or 6A (as far as not comprised in subjects 1-4)
- subject 6: claims 1 to 22 (all partially), 34, 35, 50-51 (both partially), 63, 64, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 7 or 7A (as far as not comprised in subjects 1-5)
- subject 7: claims 1 to 22 (all partially), 36, 37, 50-51 (both partially), 65, 66, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 8 or 8A (as far as not comprised in subjects 1-6)
- subject 8: claims 1 to 22 (all partially), 38, 39, 50-51 (both partially), 67, 68, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 9 or 9A (as far as not comprised in subjects 1-7)
- subject 9: claims 1 to 22 (all partially), 40, 41, 50-51 (both partially), 69, 70, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 10 or 10A (as far as not comprised in subjects 1-8)
- subject 10: claims 1 to 22 (all partially), 42, 43, 50-51 (both partially), 71, 72, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 11 or 11A (as far as not comprised in subjects 1-9)
- subject 11: claims 1 to 22 (all partially), 44, 45, 50-51 (both partially), 73, 74, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 12 or 12A (as far as not comprised in subjects 1-10)



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subject 12: claims 1 to 22 (all partially), 46, 47, 50-51 (both partially), 75, 76, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 13 or 13A (as far as not comprised in subjects 1-11)

subject 13: claims 1 to 22 (all partially), 48, 49, 50-51 (both partially), 77, 78, 79-103 (all 24 partially): use, composition, method of screening and of producing an antibacterial agent as in subject 1 but relating to a compound of formula 14 or 14A (as far as not comprised in subjects 1-12)

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 96/15435

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